

INTERNATIONAL SEARCH REPORT

PCT/IB2005/001140

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D207/16 C07D211/26 C07D211/60 C07D211/96 C07D265/30
A61K31/401 A61K31/445 A61K31/5375

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data, BIOSIS, EMBASE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 00/33788 A (AMERICAN BIOGENETIC SCIENCES INC; UNIVERSITY COLLEGE DUBLIN; SZMUSZKOV) 15 June 2000 (2000-06-15) page 1, lines 4-11; claims 14,17,19; examples 7,9,15,16 compounds 23, 24, 27, page 9, line 16 - page 11, line 3	1-10, 13-15
X	BIN HO, PRABHA M. VENKATARANGAN, SHARON F. CRUSE ET AL.: "Synthesis of 2-piperidinecarboxylic acid derivatives as potential anticonvulsants" EUR. J. MED. CHEM., vol. 33, 1998, pages 23-31, XP002348704 Table 1, compounds 8-19, 21-23, 25, 26 ----- -/-	1-9,13

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

12 October 2005

Date of mailing of the international search report

26/10/2005

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Rudolf, M

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with Indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>MIN SHEN, ARNAUD LETIRAN, YUNDE XIAO, ALEXANDER GOLBRAIKH, HAROLD KOHN, ALEXANDER TROPSHA: "Quantitative Structure-Activity Relationship Analysis of Functionalized Amino Acid Anticonvulsant Agents Using k Nearest Neighbor and Simulated Annealing PLS Methods" J. MED. CHEM., vol. 45, 2002, pages 2811-2823, XP002348705 compounds 47, 48</p>	1-9, 13
X	<p>US 3 931 139 A (WISSMANN ET AL) 6 January 1976 (1976-01-06) example 7b</p>	1-10
X	<p>BOULOS ZACHARIE, NANCIE MOREAU, CHRISTOPHER DOCKENDORFF: "A Mild procédure for the Reduction of Pyridine N-Oxides to Piperidines Using Ammonium Formate" J. ORG. CHEM., vol. 66, 2001, pages 5264-5265, XP002348706 compound 11</p>	1-10
X	<p>WO 02/18335 A (YAMANOUCI PHARMACEUTICAL CO., LTD; TORAY INDUSTRIES, INC; MORIHIRA, K) 7 March 2002 (2002-03-07) compounds 25b, 48, 61, 74a, 74d, 76table 1</p>	1-9
X	<p>VIDYADHAR S. RANADE, ROEL PRINS: "Diastereoselective Hydrogenation of (S)-Proline-2-methylanilide" JOURNAL OF CATALYSIS, vol. 185, 1999, pages 479-486, XP002348707 figure 2</p>	1-9
X	<p>TSUTOMU MIMOTO, RYOHEI KATO, HARUO TAKAKU, SATOSHI NOJIMA, KEISUKE TERASHIMA ET AL.: "Structure-Activity Relationship of Small-Sized HIV Protease Inhibitors Containing Allophenylnorstatine" J.MED. CHEM., vol. 42, 1999, pages 1789-1802, XP002348708 Scheme 5, compounds 17a-h, 18a-h</p>	1-9

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	STEVEN K. DAVIDSEN, PAUL D. MAY, JAMES B. SUMMERS: "Di-tert-butyl N-Acylimidodicarbonates as Isolable Acylating Agents: Mild Conversion of Primary Carboxamides to Substituted Amides" J. ORG. CHEM., vol. 56, 1991, pages 5482-5485, XP002348709 compound 19	1-9
X	JOSEPH L. DUFFY, NANCY J. KEVIN, BRIAN A. KIRK, KEVIN T. CHAPMAN, WILLIAM A. SCHLEIF ET AL.: "Synthesis and Activity of Novel HIV Protease Inhibitors with Improved Potency Against Multiple PI-Resistant Viral Strains" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, vol. 12, 2002, pages 2423-2426, XP002348710 compounds V, VI with R=7,9	1-9
X	JU YOUNG LEE, YONG JUN CHUNG, YOE-SIK BAE, SUNG HO RYU, BYEANG HYEAN KIM: "Synthesis of hexapeptide and tetrapeptide analogues of the immunomodulating peptides" J. CHEM. SOC. PERKIN TRANS. 1, 1998, pages 359-365, XP002348711 compound 14	1-9
X	KOICHI KAWASAKI, KATSUHIKO HIRASE, MASANORI MIYANO, TOSHIKI TSUJI, MASANORI IWAMOTO: "Amino Acids and Peptides XVI. Synthesis of N-Terminal Tetrapeptide Analogs of Fibrin α -Chain and Their Inhibitory Effects on Fibrinogen/Thrombin Clotting" CHEM. PHARM. BULL., vol. 40, no. 12, 1992, pages 3253-3260, XP001207622 compounds Boc-Pro-Cyclohexylamine, Boc-Pro-Cycloheptylamine page 3259	1-9
X	HAE YOON RHYOO, YOUNG-AE YOON, HEE-JUNG PARK, YOUNG KEUN CHUNG: "Use of amino amides derived from proline as chiral ligands in the ruthenium(II)-catalyzed transfer hydrogenation reaction of ketones" TETRAHEDRON LETTERS, vol. 42, 2001, pages 5045-5048, XP002348712 compound 2b	1-9
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	SHU KOBAYASHI, HIROMI UCHIRO, YUKO FUJISHITA, ISAMU SHIINA, TERUAKI MUKAIYAMA: "Asymmetric Aldol Reaction between Achiral Silyl Enol Ethers and Achiral Aldehydes by Use of a Chiral Promoter System" J. AM. CHEM. SOC., vol. 113, 1991, pages 4247-4252, XP002348776 compound 36	1-9
X	MAKOTO NAKAJIMA, IRIE MIYOSHI, KUMIKO KANAYAMA, SHUN-ICHI HASHIMOTO: "Enantioselective Synthesis of Binaphthol Derivatives by Oxidative Coupling of Naphthol Derivatives Catalyzed by Chiral Diamine-Copper Complexes" J. ORG. CHEM., vol. 64, 1999, pages 2264-2271, XP002348777 Page 2269: preparation of compounds 12-14	1-9
X	DATABASE CROSSFIRE BEILSTEIN Beilstein Institut zur Förderung der Wissenschaftler, Frankfurt am Main, DE; 1995, XP002348720 Database accession no. 7305927 (BRN) & Lee, Jong Chan et al., Synth. Commun. 25,18,1995, 2877-2882 abstract	1-9
X	DATABASE CROSSFIRE BEILSTEIN Beilstein Institut zur Förderung der Wissenschaftler, Frankfurt am Main, DE; 1988, XP002348721 Database accession no. 179894 (BRN) & af Ekenstam et al., Acta Chem. Scand.; 11; 1957; 1183-1184 abstract	1-9
X	DATABASE CROSSFIRE BEILSTEIN Beilstein Institut zur Förderung der Wissenschaftler, Frankfurt am Main, DE; 1994, XP002348722 Database accession no. 6399577 (BRN) & Falorni, Massimo et al., Gazz. Chim. Ital.; 116, 2, 1986, 73-76 abstract	1-9

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CROSSFIRE BEILSTEIN Beilstein Institut zur Förderung der Wissenschaftler, Frankfurt am Main, DE; 2000, XP002348723 Database accession no. 8412429 (BRN) & Paruszewski, Ryszard et al., Chem. Pharm. Bull. 49, 5, 2001, 629-631 abstract</p>	1-9
X	<p>DATABASE CROSSFIRE BEILSTEIN Beilstein Institut zur Förderung der Wissenschaftler, Frankfurt am Main, DE; 1988, XP002348724 Database accession no. 17092 (BRN) & Ninomiya, Kazuto et al., Agric. Biol. Chem. 47, 3, 1983, 629-630 abstract</p>	1-9
X	<p>EP 0 564 924 A (MILES INC; BAYER CORPORATION) 13 October 1993 (1993-10-13) Formula on page 4 lines 15-20 page 3, line 41 - page 4, line 4; claims 1,6</p>	1-9, 13-15
E	<p>WO 2005/080299 A (RHODIA CHIMIE; MIGNANI, GERARD; TURCONI, JOEL) 1 September 2005 (2005-09-01) example 5</p>	1-9

INTERNATIONAL SEARCH REPORT

International application No.
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Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 14, 15
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 14 and 15 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

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Patent document cited in search report		Publication date	Patent family member(s)		Publication date
WO 0033788	A	15-06-2000	AU	2160900 A	26-06-2000
			CA	2355296 A1	15-06-2000
			EP	1137412 A2	04-10-2001
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			DE	69320858 T2	04-02-1999
			DK	564924 T3	07-06-1999
			ES	2119826 T3	16-10-1998
			JP	6041064 A	15-02-1994
			US	5686424 A	11-11-1997
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